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10/736,739 YONG CHU 4-21-2006

$%^STN;HighlightOn=;HighlightOff=;

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptaylc1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | |
|---|---|---|
| NEWS 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS 2 | | "Ask CAS" for self-help around the clock |
| NEWS 3 | DEC 23 | New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2 |
| NEWS 4 | JAN 13 | IPC 8 searching in IFIPAT, IFIUDB, and IFICDB |
| NEWS 5 | JAN 13 | New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC |
| NEWS 6 | JAN 17 | Pre-1988 INPI data added to MARPAT |
| NEWS 7 | JAN 17 | IPC 8 in the WPI family of databases including WPIFV |
| NEWS 8 | JAN 30 | Saved answer limit increased |
| NEWS 9 | FEB 21 | STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results |
| NEWS 10 | FEB 22 | The IPC thesaurus added to additional patent databases on STN |
| NEWS 11 | FEB 22 | Updates in EPFULL; IPC 8 enhancements added |
| NEWS 12 | FEB 27 | New STN AnaVist pricing effective March 1, 2006 |
| NEWS 13 | FEB 28 | MEDLINE/LMEDLINE reload improves functionality |
| NEWS 14 | FEB 28 | TOXCENTER reloaded with enhancements |
| NEWS 15 | FEB 28 | REGISTRY/ZREGISTRY enhanced with more experimental spectral property data |
| NEWS 16 | MAR 01 | INSPEC reloaded and enhanced |
| NEWS 17 | MAR 03 | Updates in PATDPA; addition of IPC 8 data without attributes |
| NEWS 18 | MAR 08 | X.25 communication option no longer available after June 2006 |
| NEWS 19 | MAR 22 | EMBASE is now updated on a daily basis |
| NEWS 20 | APR 03 | New IPC 8 fields and IPC thesaurus added to PATDPAFULL |
| NEWS 21 | APR 03 | Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL |
| NEWS 22 | APR 04 | STN AnaVist $500 visualization usage credit offered |
| NEWS 23 | APR 12 | LINSPEC, learning database for INSPEC, reloaded and enhanced |
| NEWS 24 | APR 12 | Improved structure highlighting in FQHIT and QHIT display in MARPAT |
| NEWS 25 | APR 12 | Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected |

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

| | |
|---|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:47:02 ON 21 APR 2006

=> file reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 08:47:14 ON 21 APR 2006
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STRUCTURE FILE UPDATES: 19 APR 2006 HIGHEST RN 881169-11-5
DICTIONARY FILE UPDATES: 19 APR 2006 HIGHEST RN 881169-11-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

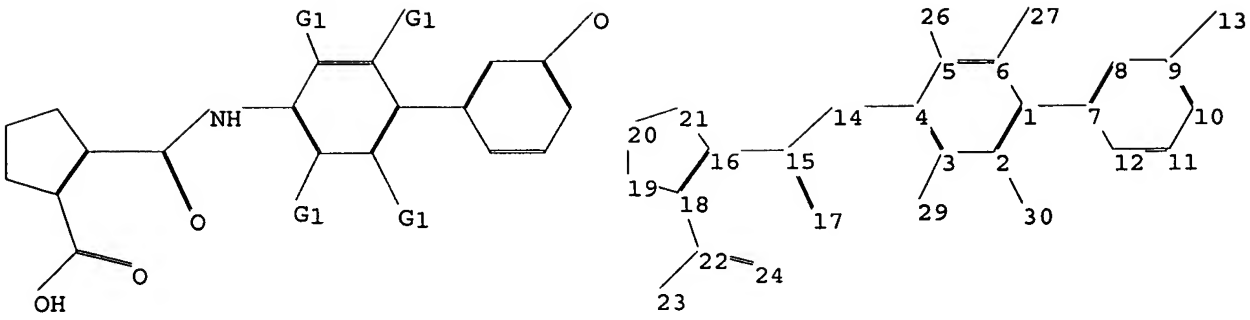
**********
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
**********

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10736739\10736739.str




chain nodes :
13 14 15 17 22 23 24 26 27 29 30
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 16 18 19 20 21
chain bonds :
1-7 2-30 3-29 4-14 5-26 6-27 9-13 14-15 15-16 15-17 18-22 22-23 22-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 16-18 16-21
18-19 19-20 20-21
exact/norm bonds :
2-30 3-29 4-14 5-26 6-27 9-13 14-15 15-17 16-18 16-21 18-19 19-20 20-21

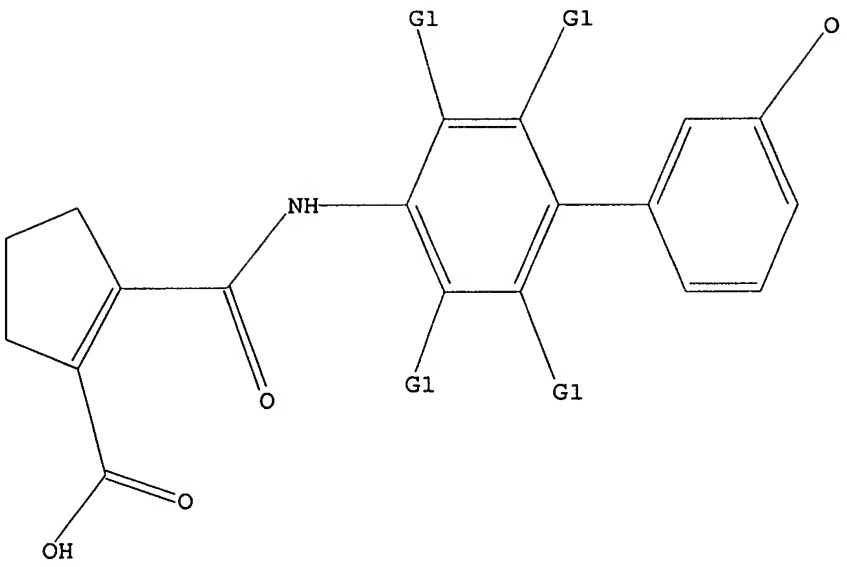
exact bonds :
1-7 15-16 18-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 22-23 22-24

G1:H,X

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:CLASS 18:Atom 19:Atom
20:Atom 21:Atom 22:CLASS 23:CLASS 24:CLASS 26:CLASS 27:CLASS 29:CLASS
30:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR




G1 H,X

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 08:47:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        12 TO ITERATE

100.0% PROCESSED        12 ITERATIONS                                  2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           33 TO       447
PROJECTED ANSWERS:               2 TO       124

L2             2 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 08:47:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       278 TO ITERATE

100.0% PROCESSED       278 ITERATIONS                                 27 ANSWERS
SEARCH TIME: 00.00.01

L3            27 SEA SSS FUL L1

=> file reg
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     166.94     167.15

FILE 'REGISTRY' ENTERED AT 08:47:53 ON 21 APR 2006
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STRUCTURE FILE UPDATES:   19 APR 2006  HIGHEST RN 881169-11-5
```

DICTIONARY FILE UPDATES: 19 APR 2006 HIGHEST RN 881169-11-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

```
=> s l3
SAMPLE SEARCH INITIATED 08:48:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       12 TO ITERATE

100.0% PROCESSED       12 ITERATIONS                                 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           33 TO      447
PROJECTED ANSWERS:               2 TO      124

L4             2 SEA SSS SAM L1

=> d ibib abs hitstr tot
'IBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
```

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

```
REG     - RN
SAM     - Index Name, MF, and structure - no RN
FIDE    - All substance data, except sequence data
IDE     - FIDE, but only 50 names
SQIDE   - IDE, plus sequence data
SQIDE3  - Same as SQIDE, but 3-letter amino acid codes are used
SQD     - Protein sequence data, includes RN
SQD3    - Same as SQD, but 3-letter amino acid codes are used
SQN     - Protein sequence name information, includes RN

CALC    - Table of calculated properties
EPROP   - Table of experimental properties
```

PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL

IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):end

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 1.32 | 168.47 |

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FILE COVERS 1907 - 21 Apr 2006 VOL 144 ISS 18
FILE LAST UPDATED: 20 Apr 2006 (20060420/ED)

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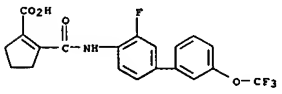
```
=> s l3
L5            6 L3

=> d ibib abs hitstr tot
```

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:87597 CAPLUS
DOCUMENT NUMBER: 144:304503
TITLE: Dual Binding Mode of a Novel Series of DHODH Inhibitors
AUTHOR(S): Baumgartner, Roland; Walloschek, Markus; Kralik, Martin; Gotschlich, Astrid; Tasler, Stefan; Mies, Jan; Leban, Johann
CORPORATE SOURCE: 4SC AG, Martinsried, 82152, Germany
SOURCE: Journal of Medicinal Chemistry (2006), 49(4), 1239-1247
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Human dihydroorotate dehydrogenase (DHODH) represents an important target for the treatment of hyperproliferative and inflammatory diseases. In the cell DHODH catalyzes the rate-limiting step of the de novo pyrimidine biosynthesis. DHODH inhibition results in beneficial immunosuppressant and antiproliferative effects in diseases such as rheumatoid arthritis. Here, we present high-resolution X-ray structures of human DHODH in complex with a novel class of low mol. weight compds. that inhibit the enzyme in the nanomolar range. Some compds. showed an interesting dual binding mode within the same cocrystal strongly depending on the nature of chemical substitution. Measured in vitro activity data correlated with the prevailing mode of binding and explained the observed structure-activity relationship. Addnl., the X-ray data confirmed the competitive nature of the inhibitors toward the putative ubiquinone binding site and will guide structure-based design and synthesis of mols. with higher activity.

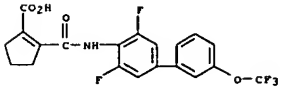
IT 669063-49-4 669063-57-4 669063-59-6
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dual binding mode of novel series of DHODH inhibitors)

RN 669063-49-4 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[3-fluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

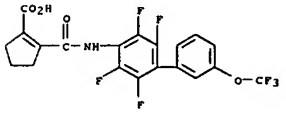


RN 669063-57-4 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[3,5-difluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

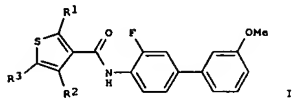


RN 669063-59-6 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[2,3,5,6-tetrafluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1251585 CAPLUS
DOCUMENT NUMBER: 144:150196
TITLE: Biphenyl-4-ylcarbamoyl thiophenecarboxylic acids as potent DHODH inhibitors
AUTHOR(S): Leban, Johann; Kralik, Martin; Mies, Jan; Baumgartner, Roland; Gassen, Michael; Tasler, Stefan
CORPORATE SOURCE: 4SC AG, Martinsried, 82152, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(2), 267-270
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:150196
GI

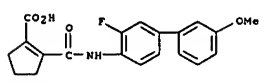


I

AB A previously discovered dihydroorotate dehydrogenase (DHODH) inhibitor series was further improved by replacing the cyclopentene ring by aromatic heterocycles. Different isomers of these compds., e.g. I (R1 = R2 = HO2C, R3 = H; R1 = R3 = HO2C, R2 = H; R1 = H, R2 = R3 = HO2C), were prepared by the directed ortho-metalation procedure. The compds. are more active than the corresponding cyclopentene analogs and show potent effects on periferal blood mononuclear cell (PBMC) proliferation.

IT 717824-30-1
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and biol. evaluation of biphenylcarbamoyl thiophene- and furancarboxylic acids as dihydroorotate dehydrogenase inhibitors and periferal blood mononuclear cell antiproliferative agents)

RN 717824-30-1 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

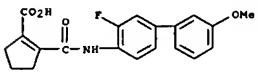
L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1024942 CAPLUS
DOCUMENT NUMBER: 143:398883
TITLE: SAR, species specificity, and cellular activity of cyclopentene dicarboxylic acid amides as DHODH inhibitors
AUTHOR(S): Leban, Johann; Kralik, Martin; Mies, Jan; Gassen, Michael; Tentschert, Karin; Baumgartner, Roland
CORPORATE SOURCE: 4SC AG, Martinsried, 82152, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(21), 4854-4857
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Novel DHODH inhibitors were developed based on a previously described series by introduction of heteroatoms into the cyclopentene ring and hydroxyl groups attached to it. Also, the hydrophobic biphenyl side chain was replaced with benzyloxy Ph groups. Activities on humen, rat, and mouse enzymes indicate a species specificity of these inhibitors.

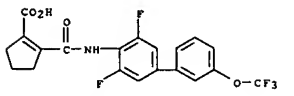
IT 717824-30-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(cyclopentene dicarboxylic acid amides as DHODH inhibitors)

RN 717824-30-1 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)



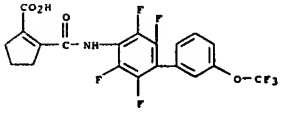
IT 669063-57-4P 669063-59-6P 717824-35-6P
717824-36-7P 867287-88-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cyclopentene dicarboxylic acid amides as DHODH inhibitors)

RN 669063-57-4 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[3,5-difluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

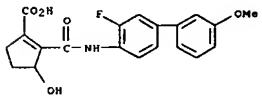


RN 669063-59-6 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[2,3,5,6-tetrafluoro-3'-

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 717824-35-6 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-3-hydroxy- (9CI) (CA INDEX NAME)



RN 717824-36-7 CAPLUS
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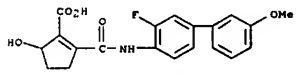

RN 867287-88-5 CAPLUS
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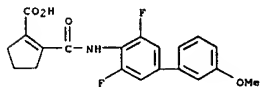


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:550931 CAPLUS
DOCUMENT NUMBER: 141:99739
TITLE: Dihydroorotate dehydrogenase (DHODH) inhibitors and method for their identification
INVENTOR(S): Leban, Johann; Kramer, Bernd; Baumgartner, Roland; Aulinger-Fuchs, Katharina; Tasler, Stefan
PATENT ASSIGNEE(S): 4SC A.-G., Germany
SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004056747 | A1 | 20040708 | WO 2003-EP14435 | 20031217 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1541198 | A1 | 20050615 | EP 2003-28137 | 20031205 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| AU 2003300530 | A1 | 20040714 | AU 2003-300530 | 20031217 |
| US 2004176458 | A1 | 20040909 | US 2003-736711 | 20031217 |
| US 2004192758 | A1 | 20040930 | US 2003-736742 | 20031217 |
| EP 1581478 | A1 | 20051005 | EP 2003-813575 | 20031217 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| DE 2002-10260799 | A | 20021223 |
| DE 2002-10260800 | A | 20021223 |
| EP 2003-28137 | A | 20031205 |
| US 2002-435258P | P | 20021223 |
| WO 2003-EP14435 | W | 20031217 |

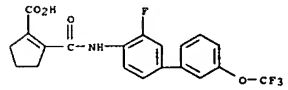
OTHER SOURCE(S): MARPAT 141:99739

AB The present invention relates to compds. containing non-aromatic ring systems or heteroarom. ring systems, which are capable of binding to the ubiquinone binding site of DHODH. Methods for identification of such compds. are also disclosed.

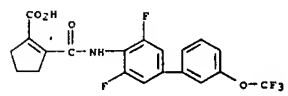
IT 669063-49-4D, complexes with dihydroorotate dehydrogenase
669063-57-4D, complexes with dihydroorotate dehydrogenase
669063-59-6D, complexes with dihydroorotate dehydrogenase
717824-30-1D, complexes with dihydroorotate dehydrogenase
717824-35-6D, complexes with dihydroorotate dehydrogenase
717824-36-7D, complexes with dihydroorotate dehydrogenase
717824-53-8 717824-54-9 717824-57-2

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
717824-60-7 717824-64-1 717824-66-7
717825-01-9 717825-16-6 717825-40-6
717825-46-2
RL: PRP (Properties)
(dihydroorotate dehydrogenase inhibitors and inhibitor identification method)

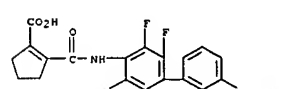
RN 669063-49-4 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[3-fluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)



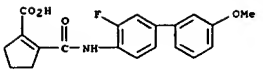
RN 669063-57-4 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[3,5-difluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)



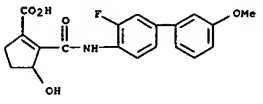
RN 669063-59-6 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[2,3,5,6-tetrafluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)



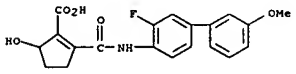
RN 717824-30-1 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)



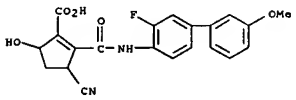
RN 717824-35-6 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-3-hydroxy- (9CI) (CA INDEX NAME)



RN 717824-36-7 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-5-hydroxy- (9CI) (CA INDEX NAME)

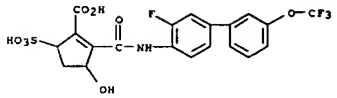


RN 717824-53-8 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 3-cyano-2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-5-hydroxy- (9CI) (CA INDEX NAME)

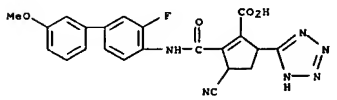


RN 717824-54-9 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]-3-hydroxy-5-sulfo- (9CI) (CA INDEX NAME)

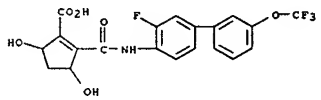




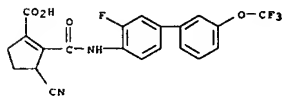
RN 717824-57-2 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 3-cyano-2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 717824-60-7 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl)amino]carbonyl]-3,5-dihydroxy- (9CI) (CA INDEX NAME)

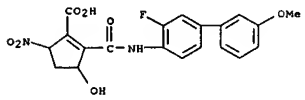


RN 717824-64-1 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 3-cyano-2-[[(3-fluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

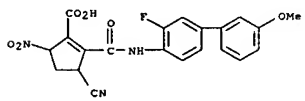


RN 717824-86-7 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-3-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

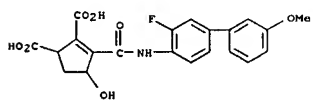




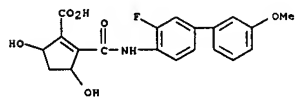
RN 717825-01-9 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 3-cyano-2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-5-nitro- (9CI) (CA INDEX NAME)



RN 717825-16-6 CAPLUS
CN 2-Cyclopentene-1,2-dicarboxylic acid, 3-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-4-hydroxy- (9CI) (CA INDEX NAME)

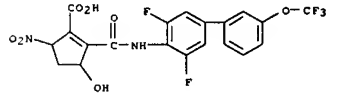


RN 717825-40-6 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-3,5-dihydroxy- (9CI) (CA INDEX NAME)



RN 717825-46-2 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3,5-difluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]-3-hydroxy-5-nitro- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

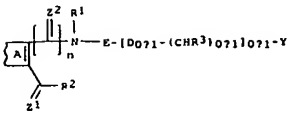
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:550930 CAPLUS
DOCUMENT NUMBER: 141:106198
TITLE: A preparation of cycloalkenedicarboxylic acid derivatives, useful as dihydroorotate dehydrogenase (DHODH) inhibitors
INVENTOR(S): Leban, Johann; Kralik, Martin
PATENT ASSIGNEE(S): 4SC A.-G., Germany
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004056746 | A1 | 20040708 | WO 2003-EP14434 | 20031217 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2509138 | AA | 20040708 | CA 2003-2509138 | 20031217 |
| AU 2003299316 | A1 | 20040714 | AU 2003-299316 | 20031217 |
| US 2004176458 | A1 | 20040909 | US 2003-736711 | 20031217 |
| US 2004192758 | A1 | 20040930 | US 2003-736742 | 20031217 |
| EP 1581477 | A1 | 20051005 | EP 2003-799487 | 20031217 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003017731 | A | 20051122 | BR 2003-17731 | 20031217 |
| JP 2006511564 | T2 | 20060406 | JP 2004-561332 | 20031217 |

PRIORITY APPLN. INFO.: DE 2002-10260800 A 20021223
US 2002-435256P P 20021223
WO 2003-EP14434 W 20031217

OTHER SOURCE(S): MARPAT 141:106198
GI



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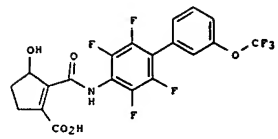


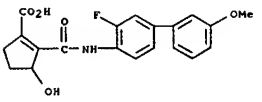
II

AB The invention relates to a preparation of cycloalkenedicarboxylic acid derivs.
of formula I [wherein: A is a non-aromatic ring containing 4 to 8 carbon atoms,
wherein the ring system comprises at least one double bond and wherein one
or more of the carbon atoms in the ring can be replaced by S, O, N, or S(O), etc.; D is O, S, SO2, or CH2, etc.; Z1 and Z2 are independently selected from O, S, or NH, etc. ; R1 is H or alkyl; R2 is H, OH, O-(cyclo)alkyl, or NH2, etc.; R3 is H, (cyclo)alkyl, aryl, alkoxy, halogen, or O-aryl, etc.; E is an alkyl or cycloalkyl group or a (mono/poly)cyclic (un)substituted ring system; Y is H, halogen, haloalkyl,
haloalkyloxy, alkyl, cycloalkyl, a monocyclic or polycyclic (un)substituted ring system; n is 0 or 1], useful as antiinflammatory, immunomodulatory and antiproliferatory agents. The obtained compds. were screened in inhibition assay for dihydroorotate dehydrogenase (DHODH) activity. For instance, cyclopentenecarboxylic acid derivative II showed IC50
value (human DHODH) of < 1μM.

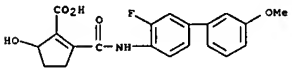
IT **717824-35-6P 717824-36-7P 719301-48-1P**
**719301-49-2P 719301-52-7P 719301-53-8P**
**719301-54-9P 719301-55-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cycloalkenedicarboxylic acid derivs., useful as antiinflammatory, immunomodulatory and antiproliferatory agents)

RN 717824-35-6 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-3-hydroxy- (9CI) (CA INDEX NAME)

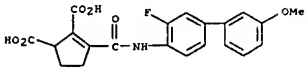
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



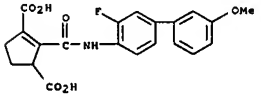
RN 717824-36-7 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]-5-hydroxy- (9CI) (CA INDEX NAME)



RN 719301-48-1 CAPLUS
CN 2-Cyclopentene-1,2-dicarboxylic acid, 3-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)

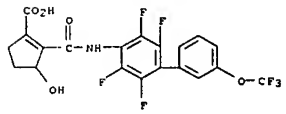


RN 719301-49-2 CAPLUS
CN 1-Cyclopentene-1,3-dicarboxylic acid, 2-[[(3-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)

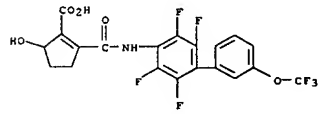


RN 719301-52-7 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 3-hydroxy-2-[[[2,3,5,6-tetrafluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

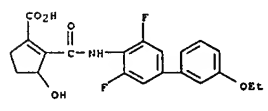
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



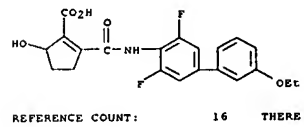
RN 719301-53-8 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 5-hydroxy-2-[[[2,3,5,6-tetrafluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 719301-54-9 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3'-ethoxy-3,5-difluoro[1,1'-biphenyl]-4-yl)amino]carbonyl]-3-hydroxy- (9CI) (CA INDEX NAME)



RN 719301-55-0 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3'-ethoxy-3,5-difluoro[1,1'-biphenyl]-4-yl)amino]carbonyl]-5-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

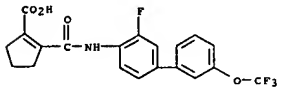
L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:981447 CAPLUS
DOCUMENT NUMBER: 140:246103
TITLE: Discovery of a novel series of DHODH inhibitors by a docking procedure and QSAR refinement
AUTHOR(S): Leban, Johann; Saeb, Wael; Garcia, Gabriel; Baumgartner, Roland; Kramer, Bernd
CORPORATE SOURCE: Martinsried, 82152, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(1), 55-58
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:246103

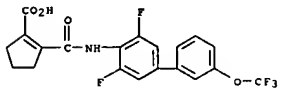
AB A novel series of DHODH (dihydroorotate dehydrogenase) inhibitors was developed based on a lead which was obtained by a docking procedure and a medicinal chemical exploration. The activity of the initial lead was improved by a QSAR method to yield low nanomolar inhibitors.

IT **669063-49-4P 669063-57-4P 669063-59-6P**
**669063-68-7P 669063-69-8P 669063-70-1P**
**669063-72-3P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(discovery of a novel series of dihydroorotate dehydrogenase inhibitors by a docking procedure and QSAR refinement)

RN 669063-49-4 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[3-fluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

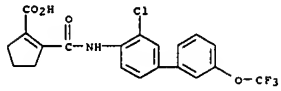


RN 669063-57-4 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[3,5-difluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 669063-59-6 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[2,3,5,6-tetrafluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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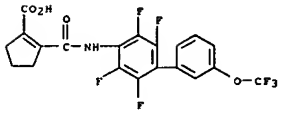
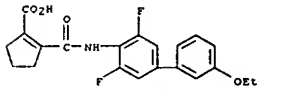
FORMAT

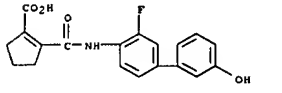
L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



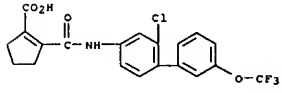
RN 669063-68-7 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3'-ethoxy-3,5-difluoro[1,1'-biphenyl]-4-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 669063-69-8 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[(3-fluoro-3'-hydroxy[1,1'-biphenyl]-4-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 669063-70-1 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[2-chloro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 669063-72-3 CAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[3-chloro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

=>

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Executing the logoff script...

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